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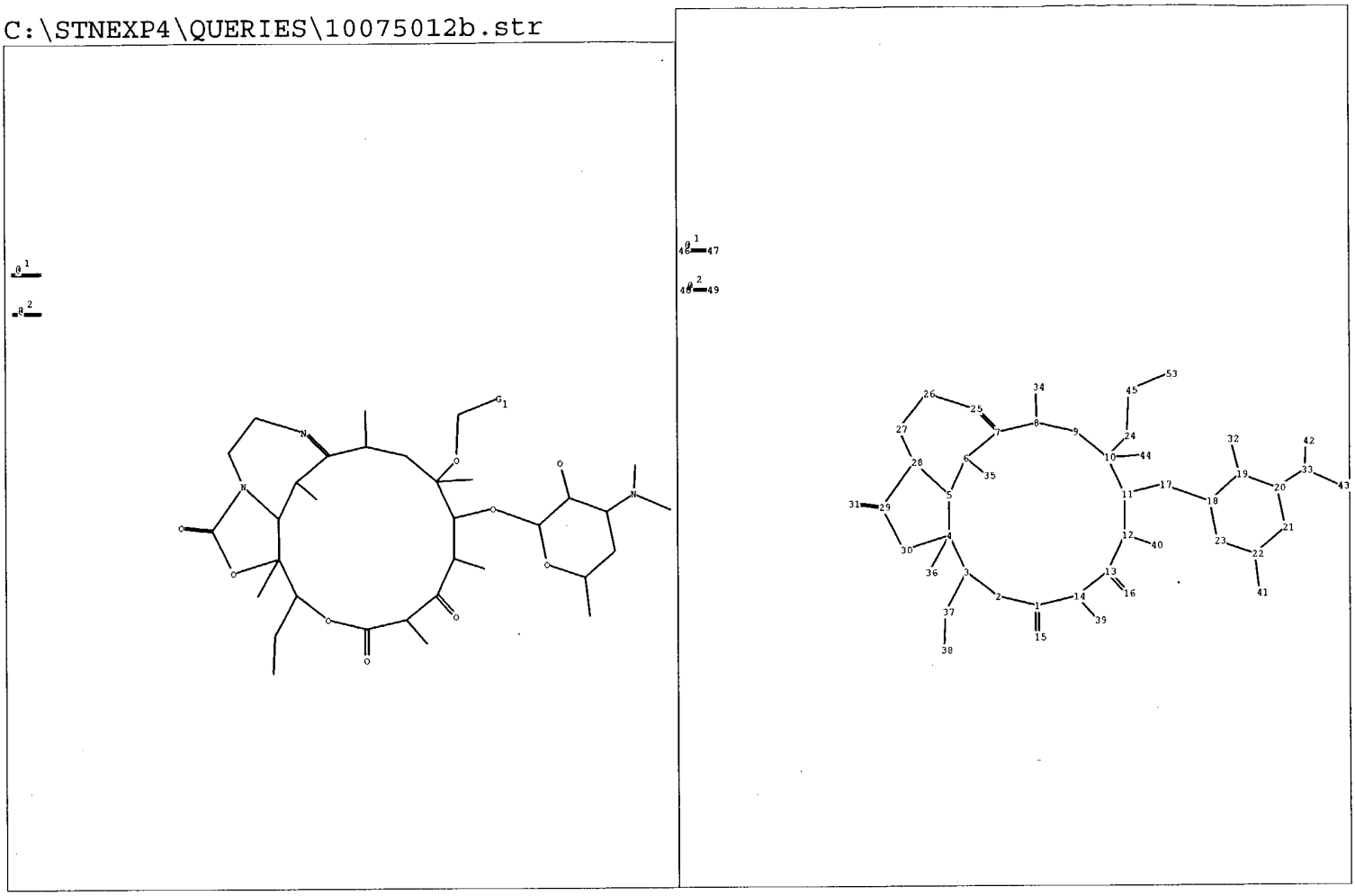

chain nodes :
15 16 17 24 31 32 33 34 35 36 37 38 39 40 41 42 43 44
45 46 47 48 49 53
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 18 19 20 21 22 23 25
26 27 28 29 30
chain bonds :
1-15 3-37 4-36 6-35 8-34 10-24 10-44 11-17 12-40 13-16 14-39
17-18 19-32 20-33 22-41 24-45 29-31 33-42 33-43 37-38 45-53
46-47 48-49
ring bonds :
1-2 1-14 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9 9-10
10-11 11-12 12-13 13-14 18-19 18-23 19-20 20-21 21-22 22-23
25-26 26-27 27-28 28-29 29-30
exact/norm bonds :
1-2 1-14 1-15 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9
9-10 10-11 10-24 11-12 11-17 12-13 13-14 13-16 17-18 18-19
18-23 19-20 19-32 20-21 20-33 21-22 22-23 24-45 25-26 26-27
27-28 28-29 29-30 29-31 33-42 33-43 45-53
exact bonds :
3-37 4-36 6-35 8-34 10-44 12-40 14-39 22-41 37-38 46-47 48-49

G1:[*1],[*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS
18:Atom

19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:Atom
26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS 32:CLASS 33:CLASS
34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS
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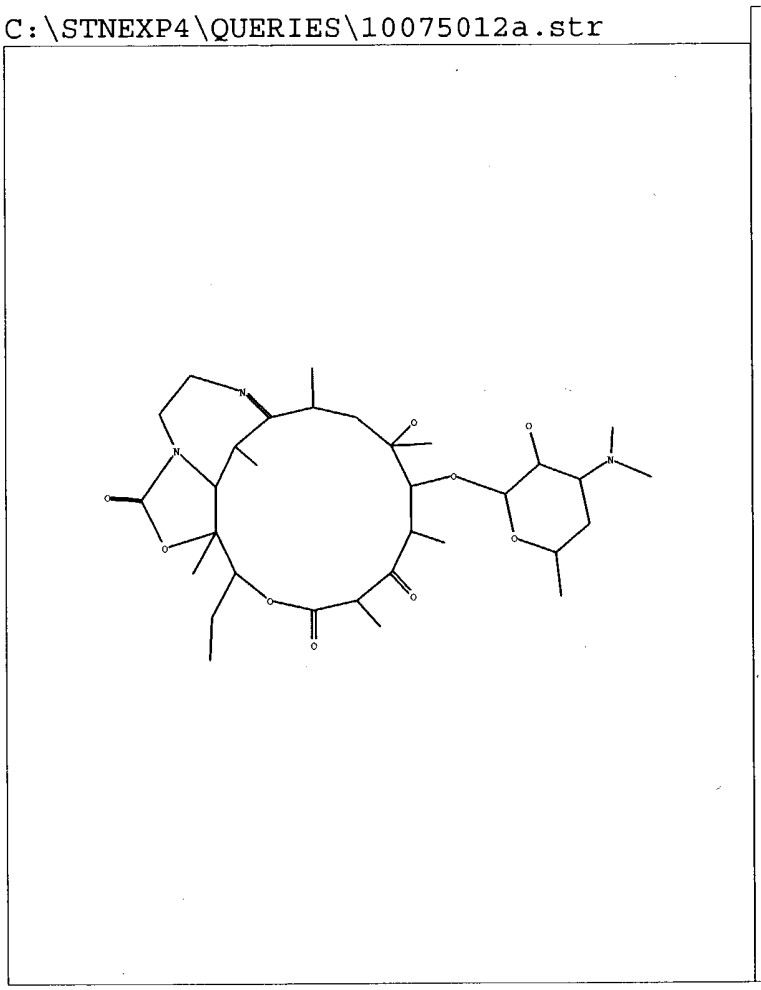

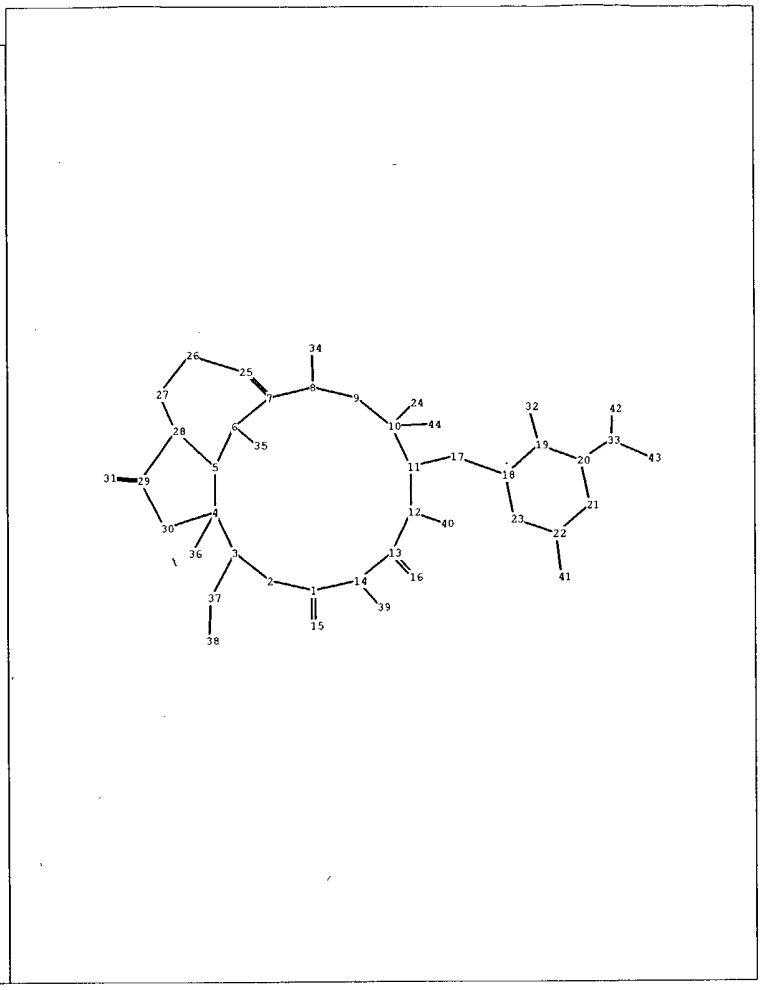

chain nodes :
15 16 17 24 31 32 33 34 35 36 37 38 39 40 41 42 43 44
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 18 19 20 21 22 23 25
26 27 28 29 30
chain bonds :
1-15 3-37 4-36 6-35 8-34 10-24 10-44 11-17 12-40 13-16 14-39
17-18 19-32 20-33 22-41 29-31 33-42 33-43 37-38
ring bonds :
1-2 1-14 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9 9-10
10-11 11-12 12-13 13-14 18-19 18-23 19-20 20-21 21-22 22-23
25-26 26-27 27-28 28-29 29-30
exact/norm bonds :
1-2 1-14 1-15 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9
9-10 10-11 10-24 11-12 11-17 12-13 13-14 13-16 17-18 18-19
18-23 19-20 19-32 20-21 20-33 21-22 22-23 25-26 26-27 27-28
28-29 29-30 29-31 33-42 33-43
exact bonds :
3-37 4-36 6-35 8-34 10-44 12-40 14-39 22-41 37-38

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS
18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:Atom
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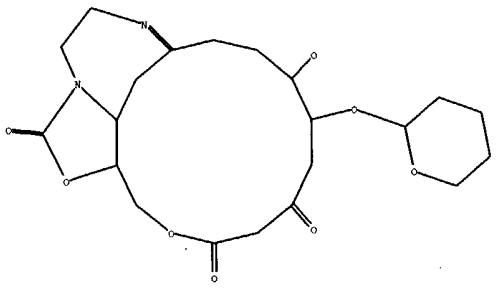

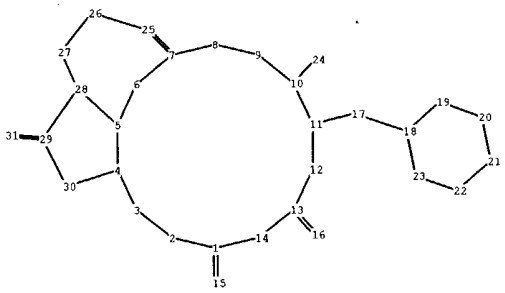

chain nodes :
15 16 17 24 31
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 18 19 20 21 22 23 25
26 27 28 29 30
chain bonds :
1-15 10-24 11-17 13-16 17-18 29-31
ring bonds :
1-2 1-14 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9 9-10
10-11 11-12 12-13 13-14 18-19 18-23 19-20 20-21 21-22 22-23
25-26 26-27 27-28 28-29 29-30
exact/norm bonds :
1-2 1-14 1-15 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9
9-10 10-11 10-24 11-12 11-17 12-13 13-14 13-16 17-18 18-19
18-23 19-20 20-21 21-22 22-23 25-26 26-27 27-28 28-29 29-30
29-31

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS
18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:Atom
26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS

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=> d his

     (FILE 'HOME' ENTERED AT 19:14:47 ON 23 FEB 2004)

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L1                STRUCTURE UPLOADED
L2                QUE L1
L3             15 S L2
L4    .       318 S L2 SSS FUL

     FILE 'CAPLUS' ENTERED AT 19:15:42 ON 23 FEB 2004
L5             27 S L4

     FILE 'REGISTRY' ENTERED AT 19:17:14 ON 23 FEB 2004
L6                STRUCTURE UPLOADED
L7                QUE L6
L8            294 S L7  SUB=L4 FUL

     FILE 'CAPLUS' ENTERED AT 19:17:57 ON 23 FEB 2004
L9             26 S L8

     FILE 'REGISTRY' ENTERED AT 19:18:20 ON 23 FEB 2004

     FILE 'CAPLUS' ENTERED AT 19:19:14 ON 23 FEB 2004

     FILE 'REGISTRY' ENTERED AT 19:19:26 ON 23 FEB 2004
L10               STRUCTURE UPLOADED
L11               QUE L10
L12            7 S L11
L13           78 S L11  SUB=L4 FUL

     FILE 'CAPLUS' ENTERED AT 19:21:45 ON 23 FEB 2004
L14            12 S L13

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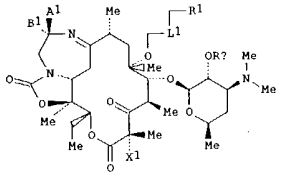
L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60128 CAPLUS
DOCUMENT NUMBER: 140:122754
TITLE: Macrolides with activity against methicillin-resistant Staphylococcus aureus
INVENTOR(S): Ma, Zhenkun; Djuric, Stevan; Keyes, Robert; Yong, Hong
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004014690	A1	20040122	US 2003-361912	20030210
PRIORITY APPLN. INFO.:			US 2002-356292P P	20020213

GI



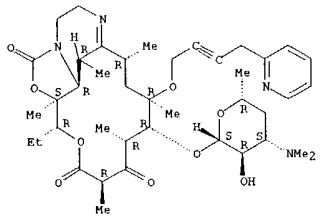
I

AB Compds. I (two of A1, B1, C1, E1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, etc., and the remainder = H; L1 = C≡C, (E)-CH=CH, (Z)-CH=CH; X1= H, F; RA = H, hydroxyl protecting group; R1 = (un)substituted aryl, heteroaryl, heterocycle) having activity against methicillin-resistant Staphylococcus aureus (MRSA), the compds. and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, comps. containing the compds., and methods for prophylaxis and treatment of MRSA infections using the compds. are disclosed. The compds. demonstrated min. inhibitory concns. of 2-64 μg/mL against MRSA.

IT **581804-72-0P 581804-73-1P 581804-74-2P**
581804-75-3P 581804-76-4P 581804-77-5P
581804-78-6P 581804-80-0P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(macrolides with activity against methicillin-resistant Staphylococcus aureus)

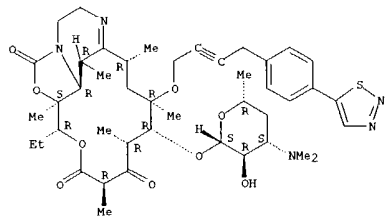
L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 581804-72-0 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-(2-pyridinyl)-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 581804-73-1 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(1,2,3-thiadiazol-5-yl)phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

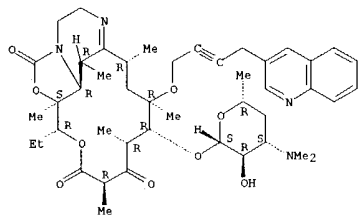
Absolute stereochemistry.



RN 581804-74-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-

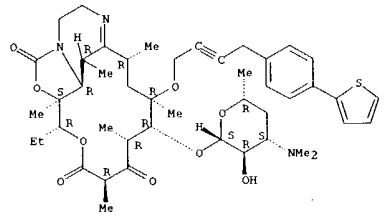
L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hexamethyl-11-[[4-(3-quinolinyl)-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 581804-75-3 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-thienyl)phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

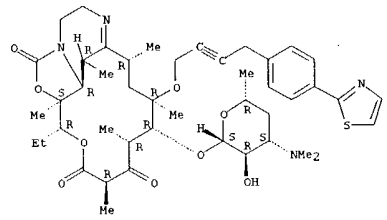
Absolute stereochemistry.



RN 581804-76-4 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-thiazolyl)phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

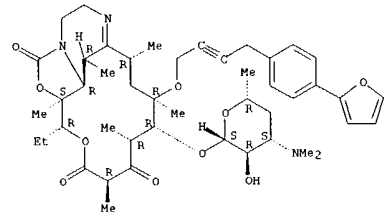
Absolute stereochemistry.

L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-77-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-11-[[4-[4-(2-furanyl)phenyl]-2-butynyl]oxy]-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

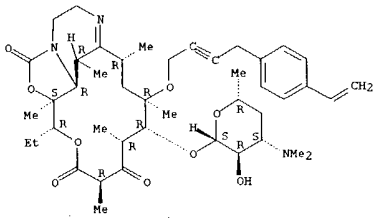
Absolute stereochemistry.



RN 581804-78-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 11-[[4-(4-ethenylphenyl)-2-butynyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-80-0 CAPLUS
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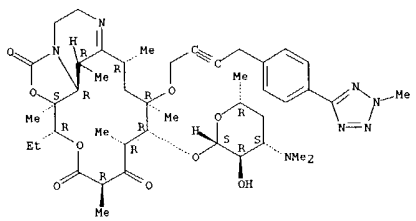
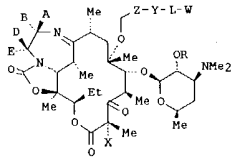
Absolute stereochemistry.



L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:41102 CAPLUS
DOCUMENT NUMBER: 140:77361
TITLE: Preparation of macrolide erythromycin derivatives as antibacterial agents
INVENTOR(S): Clark, Richard; Djuric, Stevan; Ma, Zhenkun; Wang, Sanyi
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 24 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004009931	A1	20040115	US 2003-361221	20030210
PRIORITY APPLN. INFO.:			US 2002-356296P P	20020213
OTHER SOURCE(S):	MARPAT 140:77361			

GI



I

AB The present invention discloses preparation of erythromycin macrolide analogs, such as I [A, B, D, E = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocycle, CN, OH, SH, CO2H, ester, amide, etc.; AD, AE, BD = one- to five-membered alkylene, two- to five-membered hetero-alkylene; AB, DE = one- to seven-membered alkylene, two- to seven-membered hetero-alkylene; L = alkylene, alkynylene, amine, imine, etc.; Z = (E)-CH=CH, (Z)-CH=CH, C.tplbond.C; R = H, protecting group; W = H, aryl, heteroaryl, heterocycle; X = H, F; Y = arylene, hetero-arylene], and salts, prodrugs, and salts of prodrugs thereof, for treating bacterial infections. Thus, title compds. were prepared and tested for their antibacterial activity against Staphylococcus aureus, Streptococcus pyogenes and Streptococcus pneumoniae. Thus, (2R,4R,5R,6R,8R,11R,12S,19R,20R)-11-ethyl-2,4,6,8,12,19-hexamethyl-7,9,14-trioxo-4-(3-(5-((phenylamino)methyl)thien-2-yl)prop-2-ynyl)-10,13-dioxa-15,18-diaza-tricyclo[10.6.2.015,20]icos-1(18)-en-5-yl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoside, was prepared and tested in vitro as antibacterial agent.

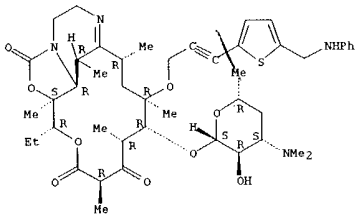
IT **582305-57-5P 582305-58-6P 582305-59-7P**

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

582305-60-0P 582305-61-1P 582305-63-3P
582305-64-4P 582305-66-6P 582305-67-7P
582305-68-8P 582305-69-9P 582305-70-2P
582305-71-3P 582305-72-4P 582305-73-5P
582305-74-6P 582305-75-7P 582305-76-8P
582305-78-0P 582305-79-1P 582305-80-4P
639826-95-2P 639826-96-3P 639826-98-5P
639826-99-6P 639827-00-2P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of erythromycin macrolide analogs having antibacterial activity)

RN 582305-57-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[(phenylamino)methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

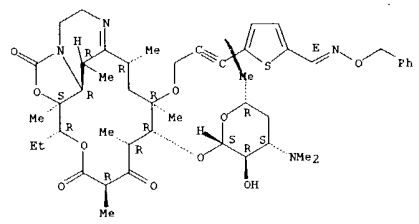
Absolute stereochemistry.



RN 582305-58-6 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[O-(phenylmethyl)oxime], [C(E)]- (9CI) (CA INDEX NAME)

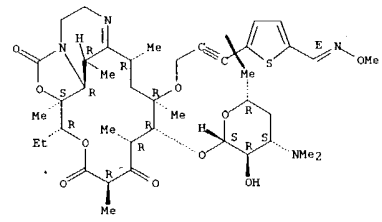
Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-59-7 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-(O-methyloxime), [C(E)]- (9CI) (CA INDEX NAME)

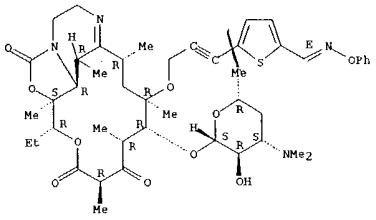
Absolute stereochemistry.
Double bond geometry as shown.



RN 582305-60-0 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-(O-phenyloxime), [C(E)]- (9CI) (CA INDEX NAME)

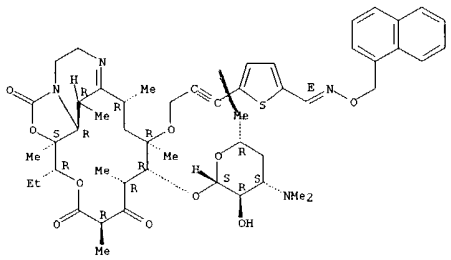
Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-61-1 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[O-(1-naphthalenylmethyl)oxime], [C(E)]- (9CI) (CA INDEX NAME)

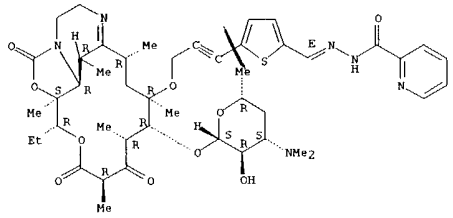
Absolute stereochemistry.
Double bond geometry as shown.



RN 582305-63-3 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[O-(2-phenoxyethyl)oxime], [C(E)]-

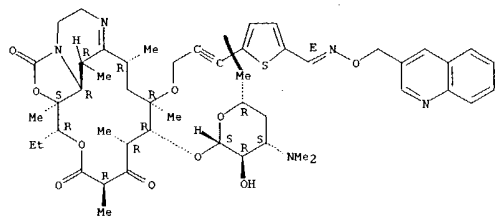
L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 582305-67-7 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[O-(3-quinolinylmethyl)oxime], [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

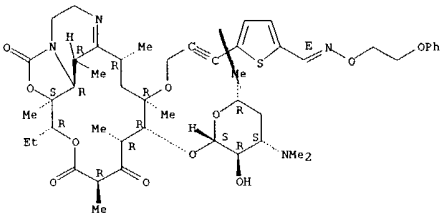


RN 582305-68-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[[(2-phenylethyl)amino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

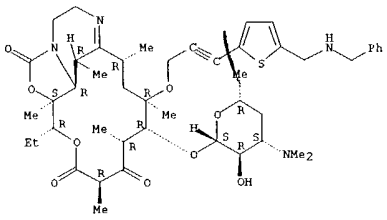
L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



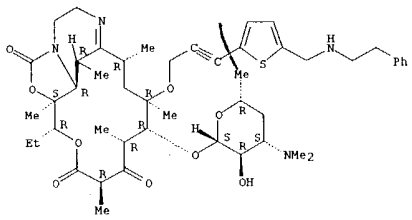
RN 582305-64-4 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[[(phenylmethyl)amino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



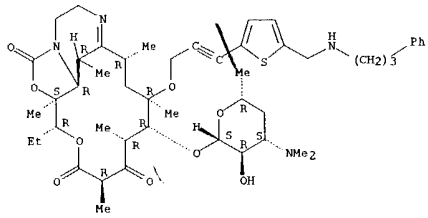
RN 582305-66-6 CAPLUS
CN 2-Pyridinecarboxylic acid, (2E)-[[5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-2-thienyl]methylene]hydrazide (9CI) (CA

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-69-9 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[[(3-phenylpropyl)amino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

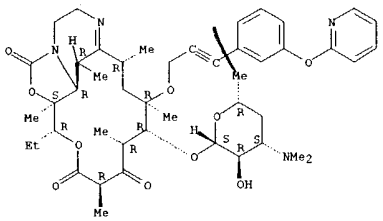
Absolute stereochemistry.



RN 582305-70-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[3-(2-pyridinyloxy)phenyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

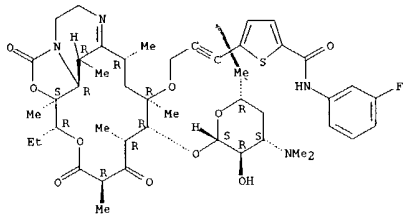
Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-71-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

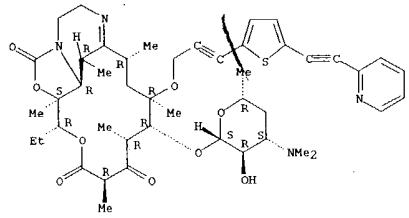
Absolute stereochemistry.



RN 582305-72-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-(3-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

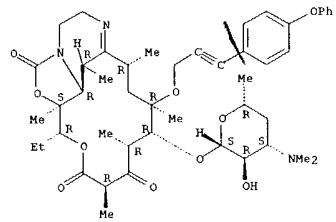
Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-75-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(4-phenoxyphenyl)-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

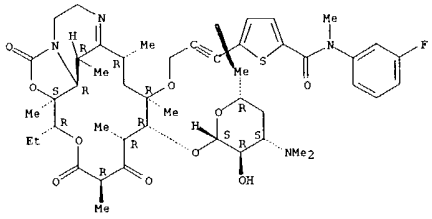
Absolute stereochemistry.



RN 582305-76-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

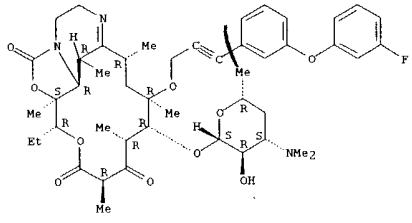
Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-73-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-11-[[3-[3-(3-fluorophenoxy)phenyl]-2-propynyl]oxy]-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

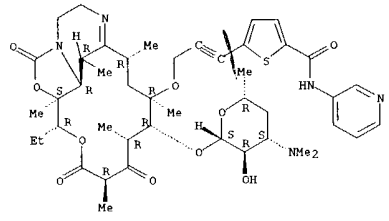
Absolute stereochemistry.



RN 582305-74-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-(2-pyridinylethynyl)-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-78-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-[3-(3-quinolinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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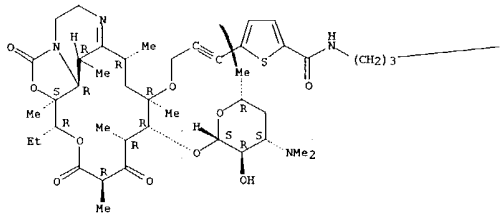

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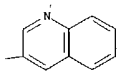
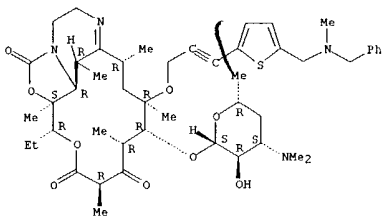


RN 582305-79-1 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-

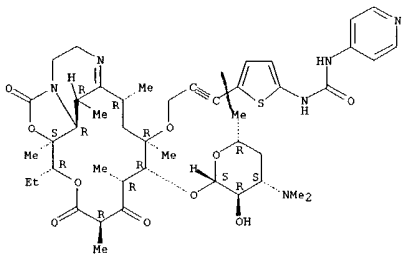
L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hexamethyl-11-[[3-{5-[[methyl(phenylmethyl)amino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



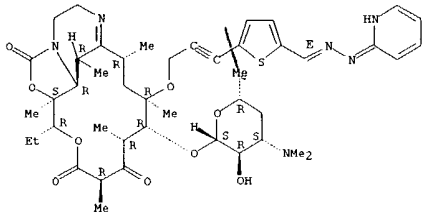
RN 582305-80-4 CAPLUS
CN Urea, N-[5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-2-thienyl]-N'-4-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



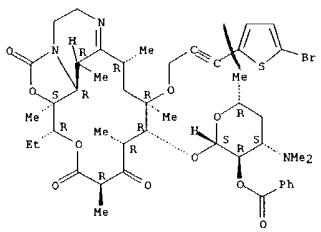
RN 639826-95-2 CAPLUS

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 639826-98-5 CAPLUS
CN 14,1-(Nitrilоethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-11-[[3-(5-bromo-2-thienyl)-2-propynyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



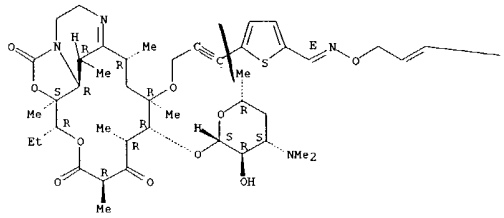
RN 639826-99-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-1,2,3-thiadiazol-4-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

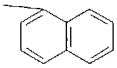
L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[O-[3-(1-naphthalenyl)-2-propenyl]oxime], [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A



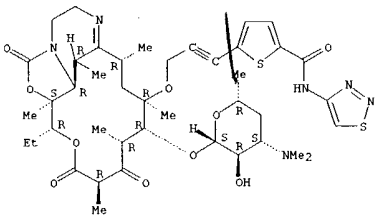
PAGE 1-B



RN 639826-96-3 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-(2-pyridinylhydrazone), [C(E)]- (9CI) (CA INDEX NAME)

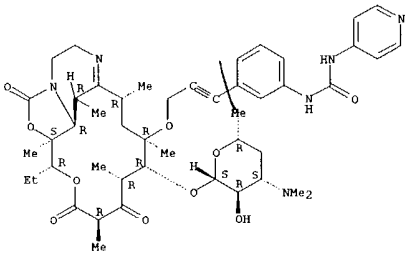
Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 639827-00-2 CAPLUS
CN Urea, N-[3-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]phenyl]-N'-4-pyridinyl- (9CI) (CA INDEX NAME)

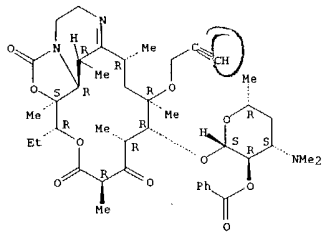
Absolute stereochemistry.



IT **581804-84-4P 639826-92-9P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of erythromycin macrolide analogs having antibacterial activity)
RN 581804-84-4 CAPLUS
CN 14,1-(Nitrilоethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

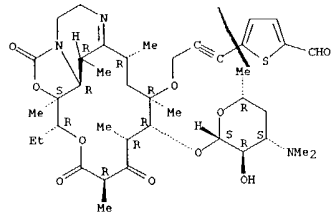
L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



RN 639826-92-9 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]- (9CI) (CA INDEX NAME)

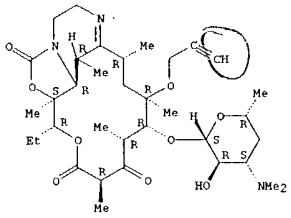
Absolute stereochemistry.



IT **639826-94-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of erythromycin macrolide analogs having antibacterial activity)
RN 639826-94-1 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

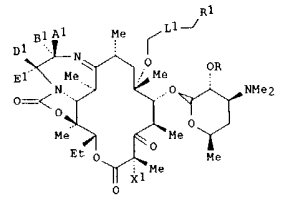


Applicants

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:656780 CAPLUS
DOCUMENT NUMBER: 139:180299
TITLE: Macrolides with activity against methicillin-resistant Staphylococcus aureus
INVENTOR(S): Ma, Zhenkun; Keyes, Robert; Djuric, Stevan; Yong, Hong
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068791	A2	20030821	WO 2003-US4130	20030211
WO 2003068791	A3	20040122		
W: CA, CN, JP, MX				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
US 2003162729	A1	20030828	US 2002-75012	20020213
PRIORITY APPLN. INFO.:			US 2002-75012 A	20020213
			US 2003-361471 A	20030210

OTHER SOURCE(S): MARPAT 139:180299
GI

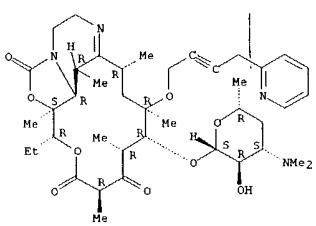


I

AB Compds. having activity against methicillin-resistant Staphylococcus aureus (MRSA), macrolides having formula I, wherein two of A1, B1, D1, and D1 are H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, CN, OH, SH, C(O)H, acyl, ester, amide; A1 and D1, A1 and E1, or B1 and D1 together are one- to five-membered alkylene or two- to five-membered heteroalkylene, and the remainder are hydrogen; or A1 and B1 together are one- to seven-membered alkylene or two- to seven-membered heteroalkylene, and D1 and E1 are hydrogen; or D1 and E1 together are one- to seven-membered alkylene or two- to seven-membered heteroalkylene, and A1 and B1 are hydrogen; L1 is alkynyl, alkenyl; X1 is H, F; R is H, OH protecting group; R1 is aryl, heteroaryl, heterocycle; and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
methods for prophylaxis and treatment of MRSA infections using the compds. are disclosed. (3AS,4R,7R,9R,10R,11S,13R,15R,15aR)-4-ethyl-3a,7,9,11,13,15-hexamethyl-11-((4-(4-(2-methyl-2H-tetrazol-5-yl)phenyl)but-2-ynyl)oxy)-2,6,8-tri-oxododecahydro-14,1-(epiazenoethano)oxacyclotetradecino[4,3-d][1,3]oxazol-10-yl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoside was prepd. and. All of the compds. tested displayed in vitro activity against MRSA superior to their resp. controls. In a preferred range, the compds. demonstrated MIC's in a range of about 2 μg/mL to about 64 μg/mL; and in a more preferred range, the compds. demonstrated MIC's in a range of about 2 μg/mL to about 8 μg/mL.
IT **581804-72-0P 581804-73-1P 581804-74-2P**
581804-75-3P 581804-76-4P 581804-77-5P
581804-78-6P 581804-79-7P 581804-80-0P
581804-87-7P 581804-88-8P 581804-89-9P
581804-90-2P 581804-91-3P 581804-92-4P
581804-93-5P 581804-94-6P 581804-95-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of macrolide glycosides with activity against methicillin-resistant Staphylococcus aureus)
RN 581804-72-0 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-(2-pyridinyl)-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

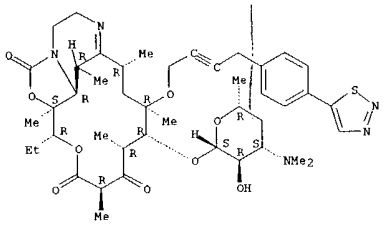


RN 581804-73-1 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-(4-(1,2,3-thiadiazol-5-yl)phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

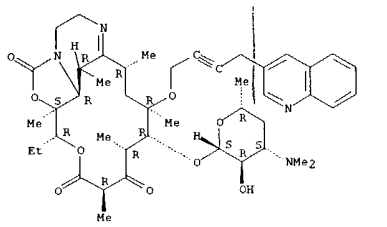
2)



RN 581804-74-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-(3-quinolinyl)-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

3)

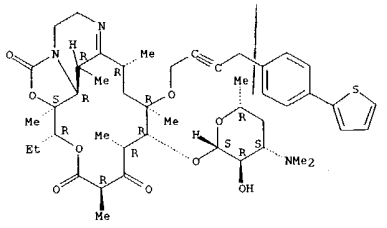


RN 581804-75-3 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-thienyl)phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

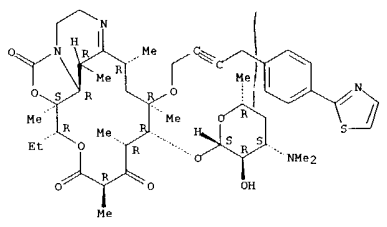
4)



RN 581804-76-4 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-thiazolyl)phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

5)

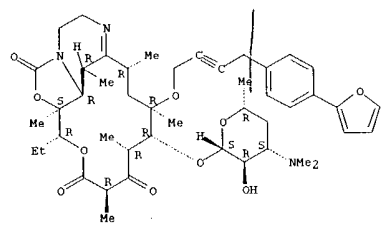


RN 581804-77-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-11-[[4-[4-(2-furanyl)phenyl]-2-butynyl]oxy]-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

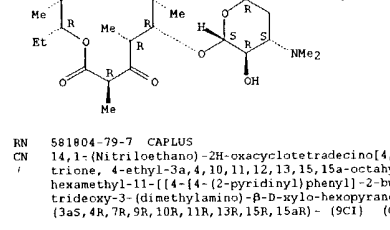
6)



RN 581804-78-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 11-[[4-(4-ethenylphenyl)-2-butynyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

7)

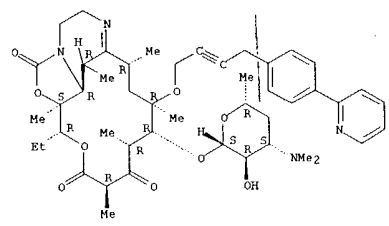


RN 581804-79-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-pyridinyl)phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

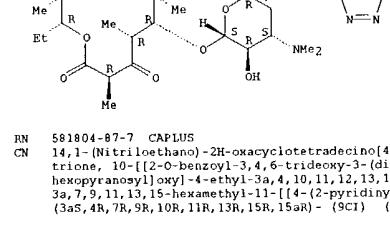
8)



RN 581804-80-0 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

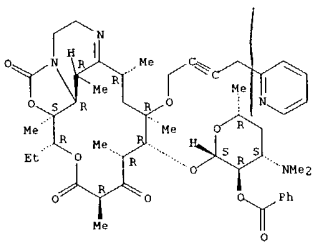
9)



RN 581804-87-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-(2-pyridinyl)-2-butynyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

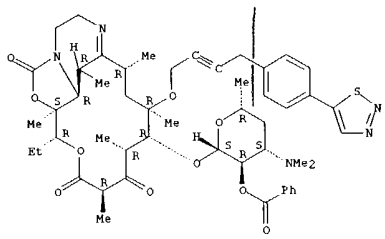
Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-88-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(1,2,3-thiadiazol-5-yl)phenyl]-2-butynyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

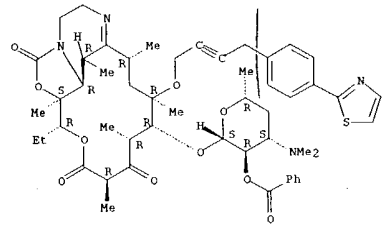
Absolute stereochemistry.



RN 581804-89-9 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-(3-quinolinyl)-2-butynyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

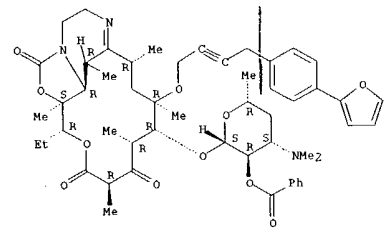
Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-92-4 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-11-[[4-(4-(2-furanyl)phenyl]-2-butynyl]oxy]-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

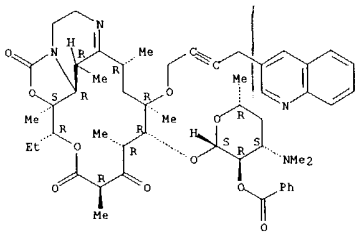
Absolute stereochemistry.



RN 581804-93-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-11-[[4-(4-ethenylphenyl)-2-butynyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

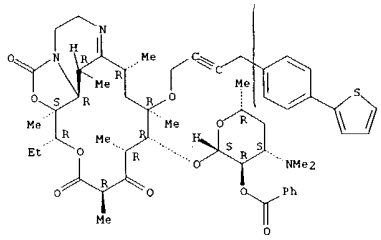
Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-90-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-thienyl)phenyl]-2-butynyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

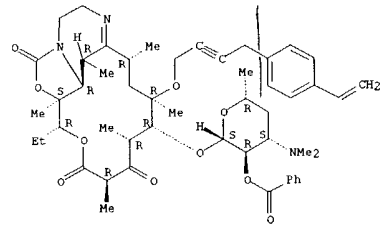
Absolute stereochemistry.



RN 581804-91-3 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-thiazolyl)phenyl]-2-butynyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

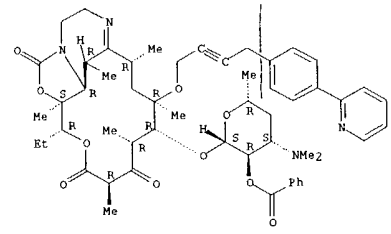
Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-94-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-pyridinyl)phenyl]-2-butynyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

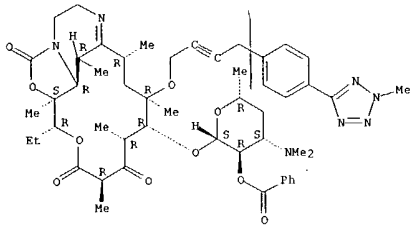
Absolute stereochemistry.



RN 581804-95-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-2-butynyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

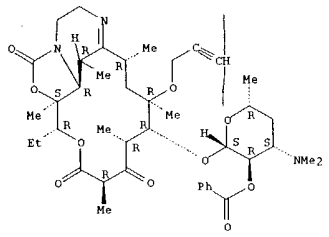
L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **581804-84-4P 581804-85-5P 581804-86-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of macrolide glycosides with activity against methicillin-resistant Staphylococcus aureus)

RN 581804-84-4 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

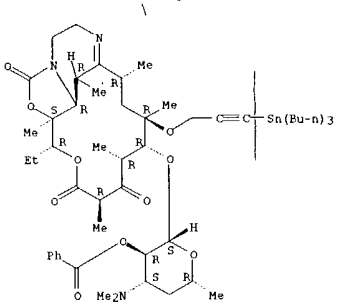


RN 581804-85-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

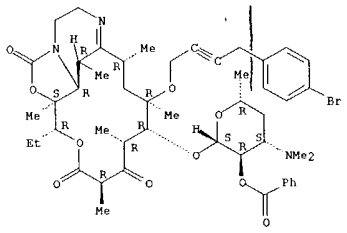
hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(tributylstannyl)-2-propynyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 581804-86-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-11-[[4-(4-bromophenyl)-2-butynyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

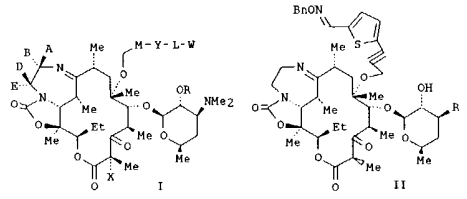


L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:656779 CAPLUS
DOCUMENT NUMBER: 139:197706
TITLE: Preparation of macrolide erythromycin analogs having antibacterial activity
INVENTOR(S): Ma, Zhenkun; Clark, Richard; Djuric, Stevan; Wang, Sanyi
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068790	A2	20030821	WO 2003-US4117	20030211
WO 2003068790	A3	20031204		
W: CA, CN, JP, MX				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
US 2003171308	A1	20030911	US 2002-75011	20020213
PRIORITY APPLN. INFO.:			US 2002-75011 A	20020213
			US 2003-361651 A	20030210

OTHER SOURCE(S): MARPAT 139:197706
GI



AB The present invention discloses preparation of erythromycin macrolide analogs, such as I [A, B, D, E = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocycle, CN, OH, SH, CO2H, ester, amide, etc.; AD, AE, BD = one- to five-membered alkylene, two- to five-membered heteroalkylene; AB, DE = one- to seven-membered alkylene, two- to seven-membered heteroalkylene; L = alkylene, alkynylene, amine, imine, etc.; M = (E)-CH=CH, (Z)-CH=CH, C.tplbond.C; R = H, protecting group; W = H, aryl, heteroaryl, heterocycle; X = H, F; Y = arylene, heteroarylene], and salts, prodrugs, and salts of prodrugs thereof, for treating bacterial infections. Thus, erythromycin macrolide analog II (R = NMe2) was prepared and tested for its antibacterial activity against Staphylococcus aureus,

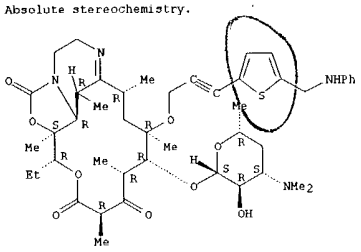
L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Streptococcus pyogenes and Streptococcus pneumoniae.

IT **582305-57-5P 582305-58-6P 582305-59-7P**
582305-60-0P 582305-61-1P 582305-62-2P
582305-63-3P 582305-64-4P 582305-65-5P
582305-66-6P 582305-67-7P 582305-68-8P
582305-69-9P 582305-70-2P 582305-71-3P
582305-72-4P 582305-73-5P 582305-74-6P
582305-75-7P 582305-76-8P 582305-77-9P
582305-78-0P 582305-79-1P 582305-80-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of erythromycin macrolide analogs having antibacterial activity)

RN 582305-57-5 CAPLUS

CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[(phenylamino)methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

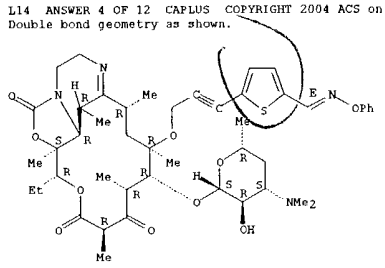


RN 582305-58-6 CAPLUS

CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[O-(phenylmethyl)oxime], [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

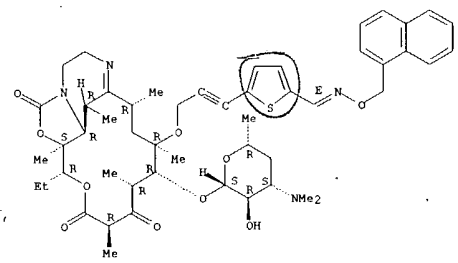
L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Double bond geometry as shown.



RN 582305-61-1 CAPLUS

CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[O-(1-naphthalenylmethyl)oxime], [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

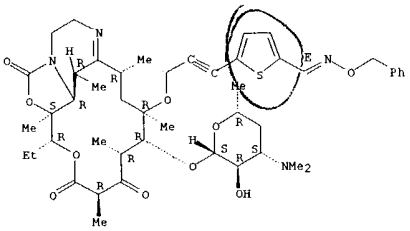


RN 582305-62-2 CAPLUS

CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[O-[(2E)-3-(1-naphthalenyl)-2-propenyl]oxime], [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

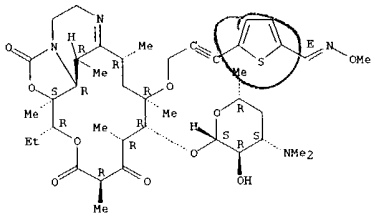
L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-59-7 CAPLUS

CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-(O-methyloxime), [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



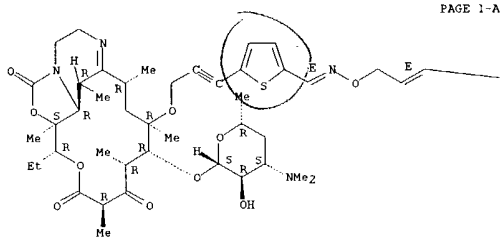
RN 582305-60-0 CAPLUS

CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-(O-phenyloxime), [C(E)]- (9CI) (CA INDEX NAME)

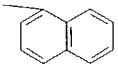
Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

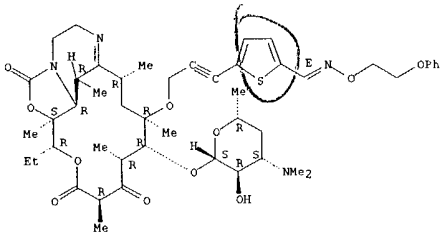


RN 582305-63-3 CAPLUS

CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[O-(2-phenoxyethyl)oxime], [C(E)]- (9CI) (CA INDEX NAME)

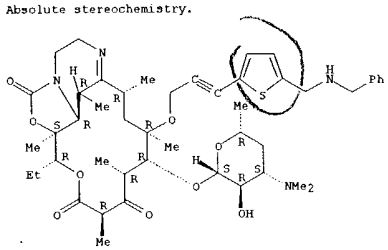
Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-64-4 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[[(phenylmethyl)amino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

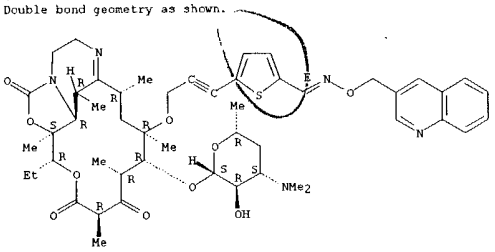
Absolute stereochemistry.



RN 582305-65-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[[(1E)-2-pyridinylazo]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

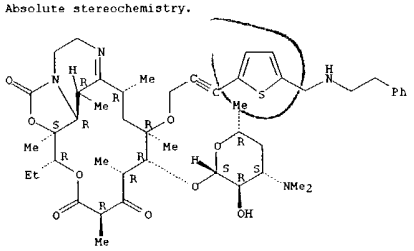
Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Double bond geometry as shown.



RN 582305-68-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[[(2-phenylethyl)amino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

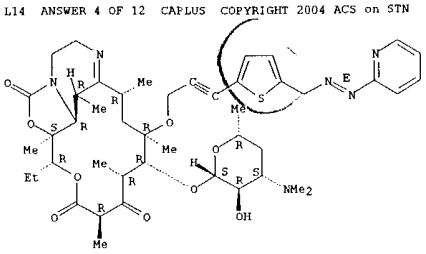
Absolute stereochemistry.



RN 582305-69-9 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[[(3-phenylpropyl)amino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

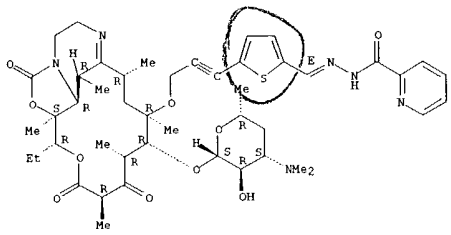
Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-66-6 CAPLUS
CN 2-Pyridinecarboxylic acid, (2E)-[[5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-2-thienyl]methylene]hydrazide (9CI) (CA INDEX NAME)

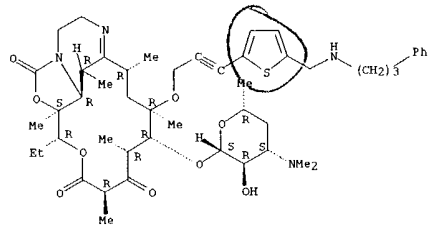
Absolute stereochemistry.
Double bond geometry as shown.



RN 582305-67-7 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[O-(3-quinolinylmethyl)oxime], [C(E)]- (9CI) (CA INDEX NAME)

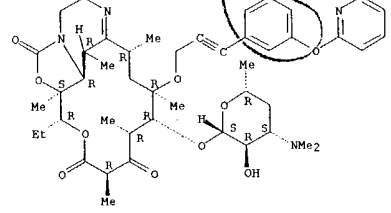
Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-70-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[3-(2-pyridinyloxy)phenyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

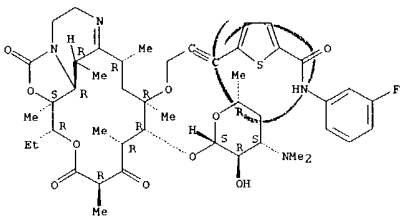
Absolute stereochemistry.



RN 582305-71-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

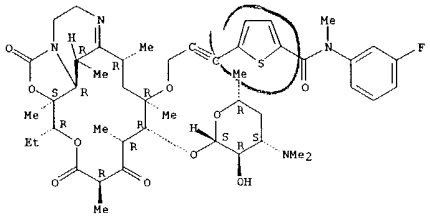
Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-72-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-(3-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

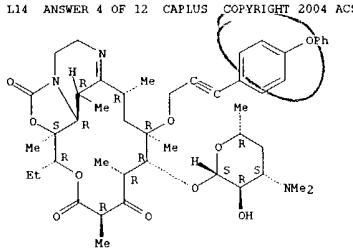
Absolute stereochemistry.



RN 582305-73-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-11-[[3-[3-(3-fluorophenoxy)phenyl]-2-propynyl]oxy]-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

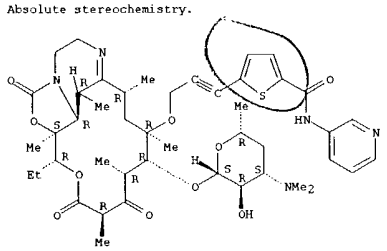
Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-76-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

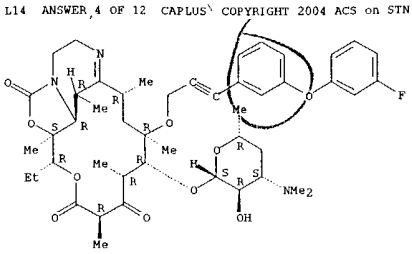
Absolute stereochemistry.



RN 582305-77-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-[4-(1,2,3-thiadiazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)

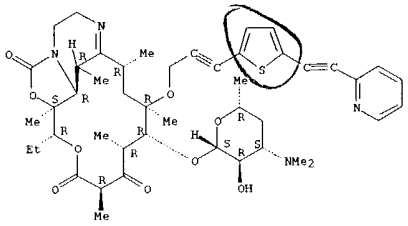
Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-74-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-(2-pyridinylethynyl)-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

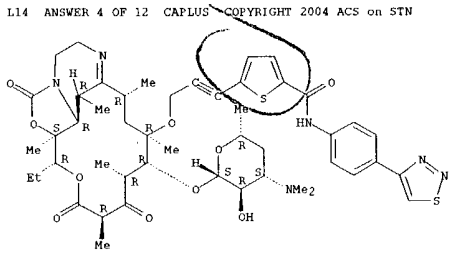
Absolute stereochemistry.



RN 582305-75-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(4-phenoxyphenyl)-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

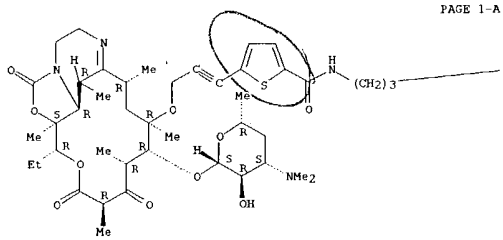
L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



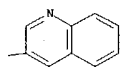
RN 582305-78-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-[3-(3-quinolinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



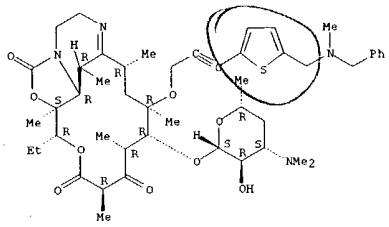
PAGE 1-B



RN 582305-79-1 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-

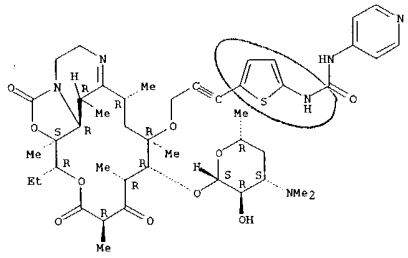
L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hexamethyl-11-[[3-[5-[[methyl(phenylmethyl)amino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



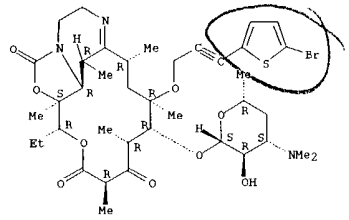
RN 582305-80-4 CAPLUS
CN Urea, N-[5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-2-thienyl]-N'-4-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **581804-84-4P 582305-86-0P**

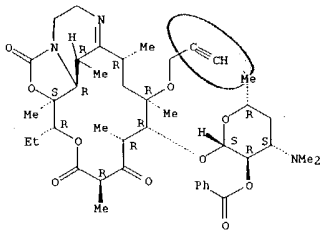
L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of erythromycin macrolide analogs having antibacterial activity)

RN 581804-84-4 CAPLUS
CN 14,1-(Nitrilоethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



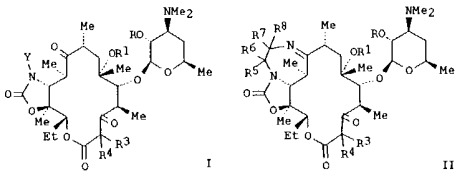
RN 582305-86-0 CAPLUS
CN 14,1-(Nitrilоethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 11-[[3-(5-bromo-2-thienyl)-2-propynyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:575746 CAPLUS
DOCUMENT NUMBER: 137:125356
TITLE: Preparation of 6-O-alkyl-2-nor-2-substituted erythromycin ketolide derivatives as antibacterial agents
INVENTOR(S): Phan, Ly Tam; Or, Yat Sun; Ma, Zhenkun
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 43 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002103140	A1	20020801	US 2000-727934	20001130
US 6569836	B2	20030527		

PRIORITY APPLN. INFO.: US 1999-168504P P 19991202
OTHER SOURCE(S): MARPAT 137:125356
GI



AB Erythromycin ketolide derivs., such as I and II [R = H, hydroxy protecting group; R1 = alkyl, alkenyl, alkenyl-R2, alkynyl, alkynyl-R2; R2 = H, aryl, heteroaryl; R3 = H, OH, NH2, substituted amine, SePh, halogen; R4 = H, OH, F, Cl, Br, I, alkyl alkenyl, alkynyl, ether, ester, alkylamine; R3 and R4 taken together with the atoms to which each is attached forms a 3- to 6-membered aromatic or non-aromatic ring optionally containing a heteroatom, wherein the non-aromatic ring optionally contains one to two double bonds or R3 and R4 taken together form a =CH2, epoxide, =O; Y = H, OH, NH2, amine; R5-R8 = H, alkyl], and pharmaceutically acceptable salts, ester, solvate or prodrug thereof, were prepared for their use as antibacterial agents. The invention relates to 6-O-alkyl-2-nor-2-substituted ketolide compound or a derivative thereof, a composition comprising the compound and a suitable carrier, a method of preparing the compound, and a method of treatment and prevention of infections in mammals comprising administering said compound Thus, I [R, R3, R4, Y = H; R1 = CH2CH:CH-(3-quinolyl) (III)] was prepared via debenzoylation of I [R = benzoyl; R3, R4, Y = H; R1 = CH2CH:CH-(3-quinolyl)]. III was tested in vitro for its antibacterial activity (MIC = 0.01 to > 100).

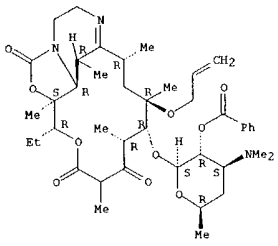
L14 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IT **444300-32-7 444300-34-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 6-O-alkyl-2-nor-2-substituted ketolide derivs. having antibacterial activity)

RN 444300-32-7 CAPLUS

CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-O-2-propenyl-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

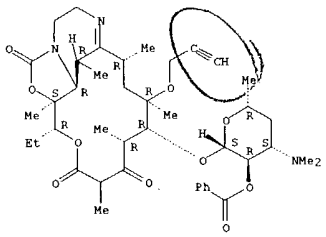


RN 444300-34-9 CAPLUS

CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L14 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:758464 CAPLUS
DOCUMENT NUMBER: 136:47983
TITLE: Novel Erythromycin Derivatives with Aryl Groups Tethered to the C-6 Position Are Potent Protein Synthesis Inhibitors and Active against Multidrug-Resistant Respiratory Pathogens
AUTHOR(S): Ma, Zhenkun; Clark, Richard F.; Brazzale, Antony; Wang, Sanyi; Rupp, Michael J.; Li, Leping; Griesgraber, George; Zhang, Suoming; Yong, Hong; Phan, Ly Tam; Nemoto, Peter A.; Chu, Daniel T. W.; Plattner, Jacob J.; Zhang, Xiaolin; Zhong, Ping; Cao, Zhensheng; Nilius, Angela M.; Shortridge, Virginia D.; Flamm, Robert; Mitten, Michael; Meulbroek, Jon; Ewing, Patty; Alder, Jeff; Or, Yat Sun
CORPORATE SOURCE: Infectious Disease Research, Abbott Laboratories, Abbott Park, IL, 60064-3537, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(24), 4137-4156
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel series of erythromycin derivs. has been discovered with potent activity against key respiratory pathogens, including those resistant to erythromycin. These compds. are characterized by having an aryl group tethered to the C-6 position of the erythronolide skeleton. Extensive structural modification of the C-6 moiety led to the discovery of several promising compds. with potent activity against both mef- and erm-mediated resistant Streptococcus pneumoniae. Preliminary mechanistic studies indicated that the new macrolides are potent protein synthesis inhibitors, which interact with methylated ribosomes isolated from resistant organisms. In exptl. animal models, these compds. exhibited excellent in vivo efficacy and balanced pharmacokinetic profiles.

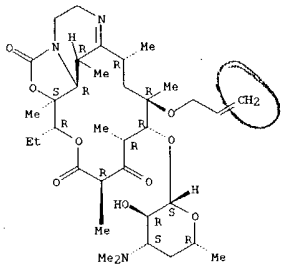
IT **381222-05-5P**
RL: PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(novel erythromycin derivs. with aryl groups tethered to the C-6 position are potent protein synthesis inhibitors and active against multidrug-resistant respiratory pathogens)

RN 381222-05-5 CAPLUS

CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

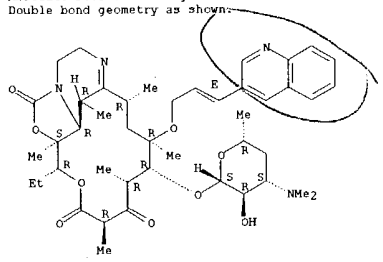


IT **381222-06-6P**
RL: PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel erythromycin derivs. with aryl groups tethered to the C-6 position are potent protein synthesis inhibitors and active against multidrug-resistant respiratory pathogens)

RN 381222-06-6 CAPLUS

CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[(2E)-3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

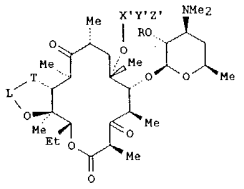
L14 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L14 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:666740 CAPLUS
DOCUMENT NUMBER: 133:222971
TITLE: Preparation of 6-O-substituted macrolides erythromycin analogs having antibacterial activity
INVENTOR(S): Or, Yat Sun; Clark, Richard F.; Ma, Zhenkun; Rupp, Michael J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055168	A1	20000921	WO 2000-US6033	20000308
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1161438	A1	20011212	EP 2000-913805	20000308
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008731	A	20020924	BR 2000-8731	20000308
JP 2002539217	T2	20021119	JP 2000-605596	20000308
ZA 2001006181	A	20021026	ZA 2001-6181	20010726
BG 105865	A	20020531	BG 2001-105865	20010901
NO 2001004380	A	20010910	NO 2001-4380	20010910
PRIORITY APPLN. INFO.:			US 1999-270497	A 19990315
			WO 2000-US6033	W 20000308

OTHER SOURCE(S): MARPAT 133:222971
GI



I

L14 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

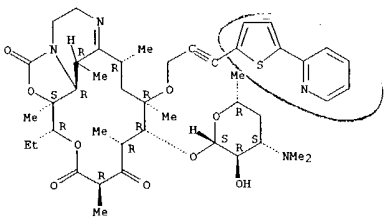
AB The instant invention provides novel macrolide I wherein X' is selected from the group consisting of C1-C10 alkyl, C3-C10 alkenyl, and C3-C10 alkynyl; Y' and Z' are independently selected from the group consisting of: (c) optionally substituted aryl, and (d) optionally substituted heteroaryl, with the proviso that both Y' and Z' are not both Ph, and with the further proviso that Y' is not isoxazole when Z' is thiophenyl; R is a hydroxy protecting group; L is CH2, CO; T is O, NH, substituted imine; and compns. useful in treating bacterial infections. Thus, I [R = H, L = CO, T = NH, X'Y'Z' = CH2C.tplbond.C-(5-(2-pyridyl)-2-thienyl)] was prepared and tested in vitro for its antibacterial activity.

IT **263868-13-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted macrolides erythromycin analogs having antibacterial activity)

RN 263868-13-9 CAPLUS

CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-(2-pyridinyl)-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



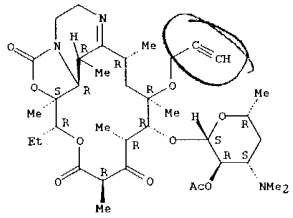
IT **263868-58-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted macrolides erythromycin analogs having antibacterial activity)

RN 263868-58-2 CAPLUS

CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

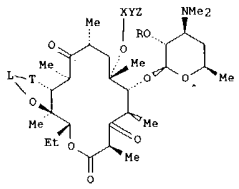


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:268525 CAPLUS
DOCUMENT NUMBER: 132:279474
TITLE: Preparation of 6-O-substituted macrolides having antibacterial activity
INVENTOR(S): Or, Yat Sun; Clark, Richard F.; Ma, Zhenkun; Rupp, Michael John
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 37 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6054435	A	20000425	US 1999-273140	19990319
PRIORITY APPLN. INFO.:			US 1999-273140	19990319

OTHER SOURCE(S): MARPAT 132:279474
GI



I

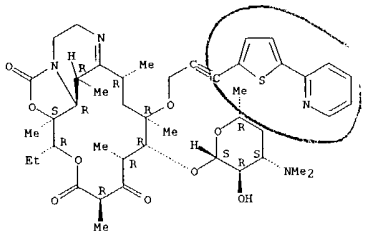
AB Macrolide erythromycins I (R = H, hydroxy protecting group; X = alkyl, alkenyl, alkynyl; Y and Z = aryl, heteroaryl; L = CH2, CO; T = O, NH, substituted amine) were prepared as antibacterial agents. Thus, I [R = H, L = CO, T = NH, XYZ = H:CH-(5-(2-furanyl)-2-thienyl)] was prepared and tested for its in-vitro antibacterial activity. (MIC = 0.004-100).

IT **263868-13-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-O-substituted macrolides having antibacterial activity)

RN 263868-13-9 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-(2-pyridinyl)-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,

L14 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

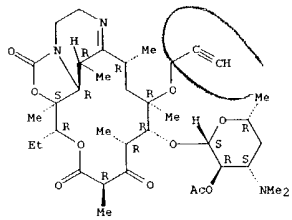
Absolute stereochemistry.



IT **263868-58-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-O-substituted macrolides having antibacterial activity)

RN 263868-58-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

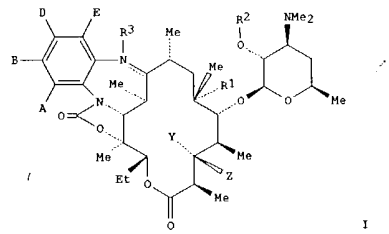


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:439310 CAPLUS
DOCUMENT NUMBER: 131:59097
TITLE: Preparation of multicyclic erythromycins as antibacterial agents
INVENTOR(S): Or, Yat Sun; Griesgraber, George; Chu, Daniel T.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 36 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5922683	A	19990713	US 1998-87035	19980529
PRIORITY APPLN. INFO.:			US 1997-50928P P	19970529

OTHER SOURCE(S): MARPAT 131:59097
GI



I

AB Novel multicyclic erythromycin compds. I (A, B, D, E are independently substituted alkyl, ether, aminoalkyl, ester; R1 is H, OH, protected OH, OR; R is CN, F, alkyl, amide, aryl, heteroaryl, alkenyl; R2 is H, OH protecting group; R3 is absent, acyl, alkyl; Y, Z are both H or one is H and the other is OH, protected OH, cladinosyl; YZ together form oxo group) and pharmaceutically acceptable salts and esters were prepared as antibacterial agents. Thus, I (R1 = OMe, R2 = H, R3 is absent, the double bond is present, A, B, D, E = H, YZ = oxo) was prepared and showed antibacterial activity (MIC = 0.1 -0.39 and in some cases MIC > 100).

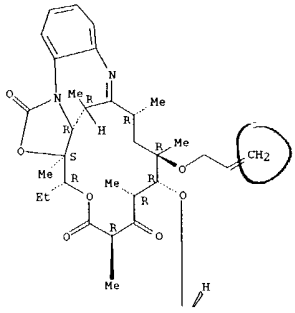
IT **217324-72-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of multicyclic erythromycin derivs. as antibacterial agents)

RN 217324-72-6 CAPLUS
CN 2H-15,1,4-Ethanylylidene-3,6,1,16-benzodioxadiazacyclooctadecine-2,7,9(8H,10H)-trione, 5-ethyl-4,5,11,12,13,14-hexahydro-4,8,10,12,14,21-

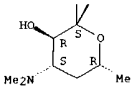
L14 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hexamethyl-12-(2-propenyloxy)-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (4S,5R,8R,10R,11R,12R,14R,21R,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

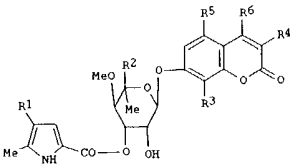
L14 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:90309 CAPLUS
DOCUMENT NUMBER: 130:125347
TITLE: Preparation of erythromycin macrolides as antibacterial agents
INVENTOR(S): Or, Yat Sun; Ma, Zhenkun; Clark, Richard F.; Chu, Daniel T.; Plattner, Jacob J.; Griesgraber, George
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 85 pp., Cont.-in-part of U.S. Ser. No. 707,776, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5866549	A	19990202	US 1997-888350	19970703
ZA 9707474	A	19980323	ZA 1997-7474	19970820
WO 9809978	A1	19980312	WO 1997-US15506	19970902
W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, YU				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9741780	A1	19980326	AU 1997-41780	19970902
AU 729348	B2	20010201		
EP 929563	A1	19990721	EP 1997-939765	19970902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9711661	A	19990824	BR 1997-11661	19970902
CN 1237183	A	19991201	CN 1997-199334	19970902
SI 20023	C	20000229	SI 1997-20062	19970902
JP 2001500855	T2	20010123	JP 1998-512858	19970902
NZ 334274	A	20010223	NZ 1997-334274	19970902
RU 2192427	C2	20021110	RU 1999-106778	19970902
EP 1291350	A1	20030312	EP 2002-24557	19970902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
EP 1291351	A1	20030312	EP 2002-24558	19970902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
EP 1291352	A1	20030312	EP 2002-24559	19970902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
EP 1291353	A1	20030312	EP 2002-24560	19970902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
TW 458980	B	20011011	TW 1997-86112756	19970925
US 6028181	A	20000222	US 1998-186395	19981104
US 6075133	A	20000613	US 1998-185883	19981104
US 6147197	A	20001114	US 1998-185903	19981104
NO 9901022	A	19990503	NO 1999-1022	19990302
BG 63547	B1	20020430	BG 1999-103292	19990326

PRIORITY APPLN. INFO.: US 1996-707776 B2 19960904
US 1997-888350 A 19970703
EP 1997-939765 A3 19970902
WO 1997-US15506 W 19970902

OTHER SOURCE(S): MARPAT 130:125347
GI



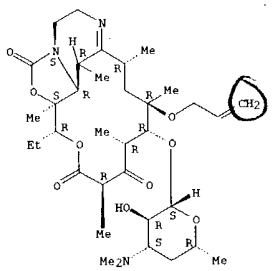
I

AB Erythromycins I [R = substituted Me, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl; R1 = H, hydroxy protecting group; L = CH2, CO; T = O, NH, substituted imine] were prepared as bactericides. Thus, I [R = CH2CH:CH-(6-hydroxy-2-naphthyl); R1 = H; L = CO; T = NH2] was prepared and tested for its antibacterial activity.

IT **205110-52-7P 205110-60-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of erythromycin macrolides as antibacterial agents)

RN 205110-52-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

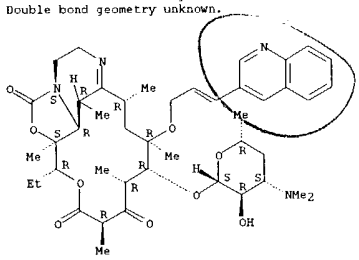
Absolute stereochemistry.



L14 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 205110-60-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

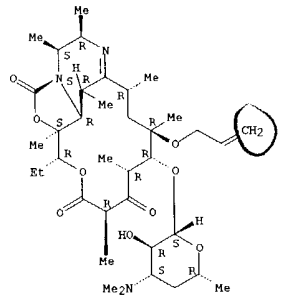


IT **205113-73-1P 219827-28-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of erythromycin macrolides as antibacterial agents)

RN 205113-73-1 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15,17,18-octamethyl-11-(2-propenyloxy)-10-{[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy}-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR,17R,18S)- (9CI) (CA INDEX NAME)

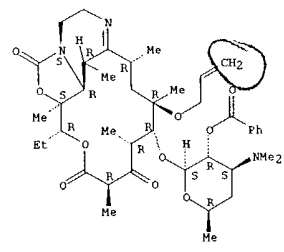
Absolute stereochemistry.

L14 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 219827-28-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-O-2-propenyl-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

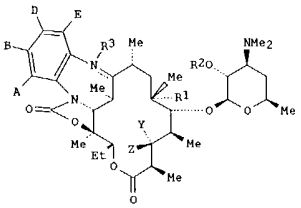
L14 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:795029 CAPLUS
DOCUMENT NUMBER: 130:52676
TITLE: Preparation of multicyclic erythromycins as bactericides
INVENTOR(S): Or, Yat Sun; Griesgraber, George W.; Chu, Daniel T.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854197	A1	19981203	WO 1998-US10501	19980522
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9875912	A1	19981230	AU 1998-75912	19980522
EP 984975	A1	20000315	EP 1998-923678	19980522
EP 984975	B1	20030423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
BR 9809489	A	20000620	BR 1998-9489	19980522
NZ 500531	A	20010727	NZ 1998-500531	19980522
JP 2002500669	T2	20020108	JP 1999-500780	19980522
AT 238330	E	20030515	AT 1998-923678	19980522
PT 984975	T	20030930	PT 1998-98923678	19980522
ZA 9804488	A	19981202	ZA 1998-4488	19980526
NO 9905842	A	20000126	NO 1999-5842	19991129
PRIORITY APPLN. INFO.:			US 1997-865453 A	19970529
			WO 1998-US10501 W	19980522

OTHER SOURCE(S): MARPAT 130:52676
GI

L14 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

AB Erythromycins I (A, B, D and E = independently H, heteroatom-containing alkyl, alkenyl, alkynyl; R1 = H, OH, protected OH, alkoxy; arylmethyloxy; R2 = H, protected OH; R3 = absent, O, H, OH, acyl alkyl; Y, Z = independently halogen, H, OH, cladinose; YZ = O) were prepared as antibacterial agents. Thus, I (A = B = D = E = R2 = H; R1 = allyloxy, R3 = absent; YZ = O) was prepared as antibacterial agent (MIC = 0.78).

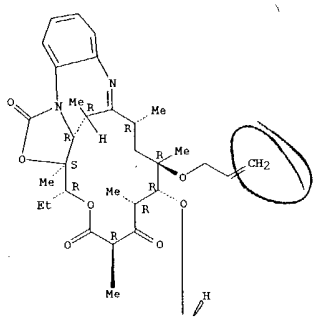
IT **217324-72-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of multicyclic erythromycins as bactericides)

RN 217324-72-6 CAPLUS
CN 2H-15,1,4-Ethanylylidene-3,6,1,16-benzodioxadiazacyclooctadecine-2,7,9(8H,10H)-trione, 5-ethyl-4,5,11,12,13,14-hexahydro-4,8,10,12,14,21-hexamethyl-12-(2-propenyloxy)-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (4S,5R,8R,10R,11R,12R,14R,21R,22R)- (9CI) (CA INDEX NAME)

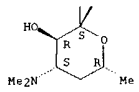
Absolute stereochemistry.

L14 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



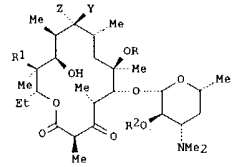
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:175937 CAPLUS
DOCUMENT NUMBER: 128:257662
TITLE: Preparation of 6-O-substituted erythromycin ketolides as antibacterial agents
INVENTOR(S): Or, Yat Sun; Ma, Zhenkun; Clark, Richard F.; Chu, Daniel T.; Plattner, Jacob J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 247 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809978	A1	19980312	WO 1997-US15506	19970902
W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, YU				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5866549	A	19990202	US 1997-888350	19970703
AU 9741780	A1	19980326	AU 1997-41780	19970902
AU 729348	B2	20010201		
EP 929563	A1	19990721	EP 1997-939765	19970902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9711661	A	19990824	BR 1997-11661	19970902
SI 20023	C	20000229	SI 1997-20062	19970902
JP 2001500855	T2	20010123	JP 1998-512858	19970902
NZ 334274	A	20010223	NZ 1997-334274	19970902
RU 2192427	C2	20021110	RU 1999-106778	19970902
NO 9901022	A	19990503	NO 1999-1022	19990302
BG 63547	B1	20020430	BG 1999-103292	19990326
PRIORITY APPLN. INFO.:			US 1996-707776 A	19960904
			US 1997-888350 A	19970703
			WO 1997-US15506 W	19970902

OTHER SOURCE(S): MARPAT 128:257662
GI



I

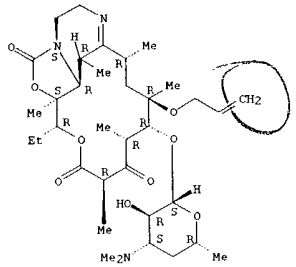
AB Title erythromycin ketolides, e.g. I [R = Me substituted with CN, F, carboxylate, amide, aryl, heteroaryl; (un)substituted alkyl; R1 = H, OH; R2 = H, hydroxy protecting group; Y,Z = O, (un)substituted NOH; Z, Y =

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
independently H, OH, protected OH, amine], were prepd. as bactericides. Thus, I (R = allyl, R1 = OH, R2 = H, Y,Z = O) was prepd. and tested for its antibacterial activity. Antibacterial activity of selected compds. was MIC = 0.1-128.

IT **205110-52-7P 205110-60-7P 205113-51-5P**
205113-52-6P 205113-53-7P 205113-54-8P
205113-57-1P 205113-59-3P 205113-61-7P
205113-62-8P 205113-63-9P 205113-69-5P
205113-70-8P 205113-71-9P 205113-72-0P
205113-73-1P 205113-74-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-O-substituted erythromycin ketolide derivs. as antibacterial agents)

RN 205110-52-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

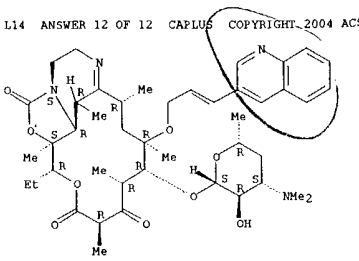
Absolute stereochemistry.



RN 205110-60-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

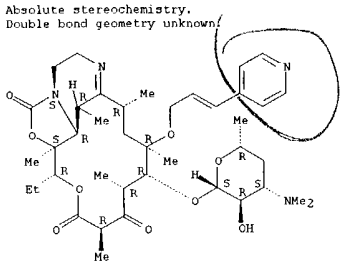
Absolute stereochemistry.
Double bond geometry unknown.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 205113-51-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(4-pyridinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

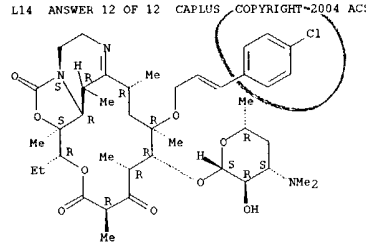
Absolute stereochemistry.
Double bond geometry unknown.



RN 205113-52-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 11-[[3-(4-chlorophenyl)-2-propenyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

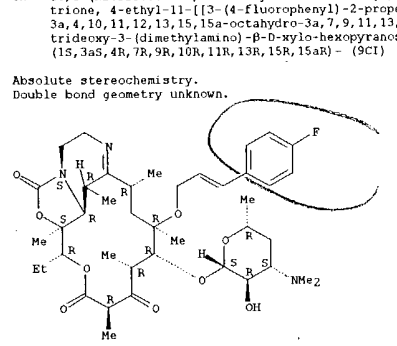
Absolute stereochemistry.
Double bond geometry unknown.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 205113-53-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-11-[[3-(4-fluorophenyl)-2-propenyl]oxy]-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

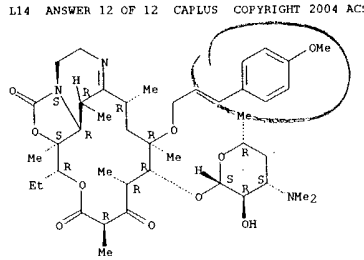
Absolute stereochemistry.
Double bond geometry unknown.



RN 205113-54-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-11-[[3-(4-methoxyphenyl)-2-propenyl]oxy]-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

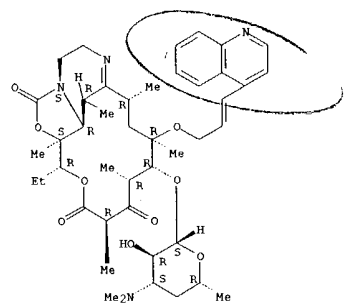
Absolute stereochemistry.
Double bond geometry unknown.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 205113-57-1 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(4-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

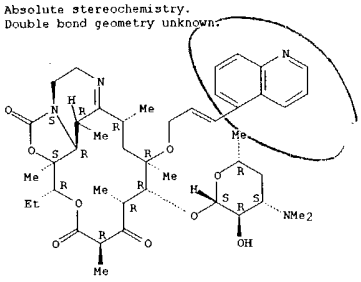
Absolute stereochemistry.
Double bond geometry unknown.



RN 205113-59-3 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(5-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

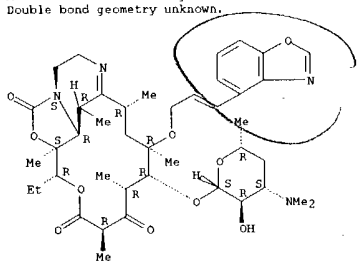
L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry unknown.



RN 205113-61-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 11-[[3-(4-benzoxazolyl)-2-propenyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

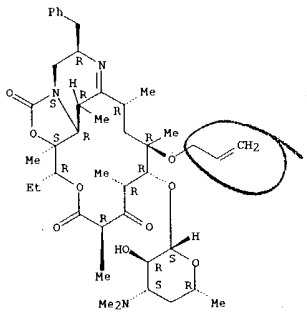
Absolute stereochemistry.
Double bond geometry unknown.



RN 205113-62-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 11-[[3-(1H-benzimidazol-4-yl)-2-propenyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 205113-69-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-17-(phenylmethyl)-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

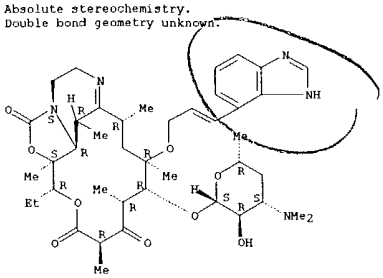


RN 205113-70-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-18-(phenylmethyl)-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR,18S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

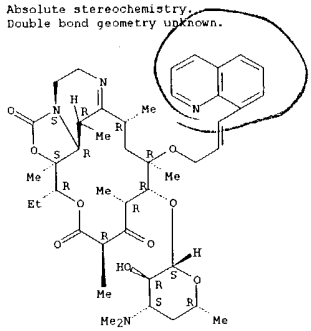
L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

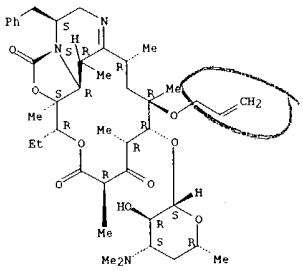


RN 205113-63-9 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(8-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

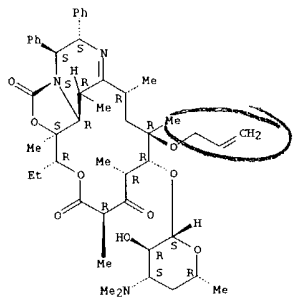


L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 205113-71-9 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-17,18-diphenyl-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR,17S,18S)- (9CI) (CA INDEX NAME)

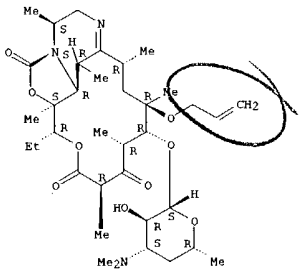
Absolute stereochemistry.



RN 205113-72-0 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15,18-

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
heptamethyl-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR,18S)- (9CI) (CA INDEX NAME)

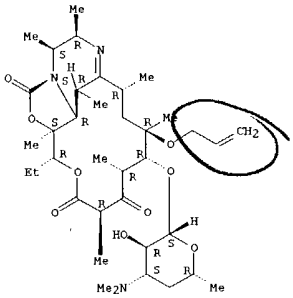
Absolute stereochemistry.



RN 205113-73-1 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15,17,18-octamethyl-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR,17R,18S)- (9CI) (CA INDEX NAME)

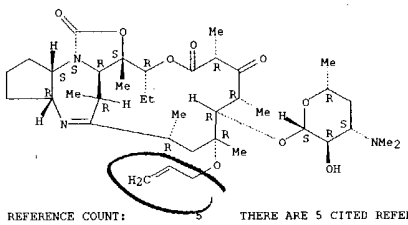
Absolute stereochemistry.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 205113-74-2 CAPLUS
CN 7H-15,1,4-Ethanylylidene-2H-cyclopenta[d][1,16,3,6]dioxadiazacyclooctadecine-2,7,9(8H)-trione, 5-ethyl-4,5,10,11,12,13,14,16a,17,18,19,19a-dodecahydro-4,8,10,12,14,20-hexamethyl-12-(2-propenyloxy)-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (1S,4S,5R,8R,10R,11R,12R,14R,16aR,19aS,20R,21R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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